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NEWS 2 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
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NEWS 10 SEP 13 FORIS renamed to SOFIS
NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 29 JAN 02 STN pricing information for 2008 now available
NEWS 30 JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 31 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 32 JAN 28 MARPAT searching enhanced
NEWS 33 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication

NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 36 FEB 08 STN Express, Version 8.3, now available

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

| | |
|------------|---|
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* * * * * STN Columbus *

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FILE 'CAPLUS' ENTERED AT 21:35:00 ON 14 FEB 2008
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FILE COVERS 1907 - 14 Feb 2008 VOL 148 ISS 7
FILE LAST UPDATED: 13 Feb 2008 (20080213/ED)

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=> e losartan
E1 1 LOSARTA/BI
E2 1 LOSARTAM/BI
E3 5490 --> LOSARTAN/BI
E4 1 LOSARTANK/BI
E5 1 LOSARTANS/BI
E6 1 LOSARTANT/BI

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E7          2      LOSARTEN/BI
E8          2      LOSARTIN/BI
E9          1      LOSARTOAN/BI
E10         3      LOSARTON/BI
E11         2      LOSARTRAN/BI
E12         1      LOSASSO/BI

=> s E3
      5490 LOSARTAN/BI
      1 LOSARTANS/BI
L1      5490 LOSARTAN/BI
      ((LOSARTAN OR LOSARTANS)/BI)

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=> s l1 and polymorph
      8459 POLYMORPH
      9548 POLYMORPHS
      14667 POLYMORPH
      (POLYMORPH OR POLYMORPHS)
L2      14 L1 AND POLYMORPH

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=> d L1-5 ibib abs
'L1-999' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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The following are valid formats:

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ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PAT5 ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
           SCAN must be entered on the same line as the DISPLAY,
           e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
           containing hit terms

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HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

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ENTER DISPLAY FORMAT (BIB):ibib ab

L2 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:761240 CAPLUS
 DOCUMENT NUMBER: 147:166619
 TITLE: Preparation of β-amino acid derivatives as
 dipeptidyl peptidase-IV inhibitors
 INVENTOR(S): Sattigeri, Jitendra A.; Ahmed, Shahadat; Andappan,
 Murugaiah M. S.; Sethi, Sachin; Sharma, Lalima; Pal,
 Chanchal Kumar; Kandalkar, Sachin Ramesh; Mahajan,
 Dipak C.; Kishore, Kaushal; Bhatia, Sumati; Gadhave,
 Anil G.; Bansal, Vinay S.; Davis, Joseph Alexanand
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 74pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007077508 | A2 | 20070712 | WO 2006-IB55006 | 20061221 |
| WO 2007077508 | A3 | 20071025 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |

PRIORITY APPLN. INFO.: IN 2005-DE3520 A 20051230

OTHER SOURCE(S): MARPAT 147:166619

AB The invention relates to the preparation of β-amino acid derivs. I [A =

(hetero)aryl; E, E' = independently (CR_aR_b)_n; n = 1-2; R_a, R_b = independently H, alk(en/yn)yl, cycloalkyl, (hetero)/aryl, heterocyclyl; R_aR_b = optionally unsatd. ring; R = (un)substituted 2,5-diazabicyclo[2.2.1]hept-2-yl, (piperidin-4-yl)amino, -3-azabicyclo[3.1.0]hex-6-yl, etc.], and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers, polymorphs, prodrugs, metabolites, and N-oxides, as dipeptidyl peptidase-IV inhibitors. This invention also relates to pharmacol. compns. containing the compds. of the invention, and methods of treating diabetes, especially type 2 diabetes, as well as prediabetes, diabetic dyslipidemia, metabolic acidosis, ketosis, satiety disorders, and obesity. These inhibitors can also be used to treat conditions manifested by a variety of metabolic, neurol., anti-inflammatory, and autoimmune disorders like inflammatory disease, multiple sclerosis, rheumatoid arthritis; viral, cancer and gastrointestinal disorders. I can also be used for treatment of infertility arising due to polycystic ovary syndrome. Thus, coupling 4-amino-1-[(morpholin-4-yl)carbonyl]piperidine tosylate with (3R)-3-[N-(tert-butoxycarbonyl)amino]-4-(2,4,5-trifluorophenyl)butanoic acid and cleavage of tert-butoxycarbonyl group in the presence of TFA gave II-TFA. I were evaluated for their peptidase-IV inhibitory activity using a fluorometric assay (IC₅₀ values in the range of 1 nm to 10 μM).

=> d 12 2-8 ibib ab

L2 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1155444 CAPLUS
 DOCUMENT NUMBER: 145:477884
 TITLE: Angiotensin II receptor antagonists
 INVENTOR(S): Alani, Laman L.; Dubost, David C.; Foster, Bruce S.; Ghosh, Soumojeet; Jahansouz, Hossain; Pourkavoos, Nazaneen; Rege, Bhagwant; Tatavarti, Aditya
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 34pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006115834 | A1 | 20061102 | WO 2006-US14092 | 20060414 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006240247 | A1 | 20061102 | AU 2006-240247 | 20060414 |
| CA 2604190 | A1 | 20061102 | CA 2006-2604190 | 20060414 |
| EP 1874302 | A1 | 20080109 | EP 2006-750200 | 20060414 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| IN 2007CN04215 | A | 20071221 | IN 2007-CN4215 | 20070924 |

PRIORITY APPLN. INFO.:

US 2005-673086P P 20050420

WO 2006-US14092 WO 20060414

AB The compds. of the present invention are polymorphic crystalline forms of the compound 2-butyl-4-chloro-1-[2'-(1-H-tetrazol-5-yl)biphenyl-4-yl)methyl]imidazole-5-carboxylic acid (I). Specifically, the compds. of the invention are selected from the group consisting of e.g., I, I-HCl Forms I through III and their monohydrate forms. I was prepared and converted to controlled release granules.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT.

L2 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1004564 CAPLUS

ACCESSION NUMBER: 2005.100.15
DOCUMENT NUMBER: 143:292576

DOCUMENT NUMBER: 14522576
TITLE: Stabilization of a polymorphic form of losartan potassium

INVENTOR(S): Svetec, Peter; Grahek, Rok; Humar, Vlasta;
Husac-Kovacevic, Breda; Jerula-Strukelj, Zdenka;

PATENT ASSIGNEE(S): HUSU-KOVACEVIC, Breda; JERAIJA-STRUMICA, Lek Pharmaceuticals D.D., Slovenia

PATENT ASSIGNEE(S): Eek Pharmaceuticals B.
SOURCE: BCT Int. Appl. 23 pp

SOURCE: PCI Int. Appl
Coden: RIXXD2

DOCUMENT TYPE: CODEN: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English

| LANGUAGE: | E | | | |
|-----------|------|------|-------|---|
| FAMILY | GEN. | NUM. | COUNT | Q |

FAMILY ACC. NUM. CO
PATENT INFORMATION

PATENT INFORMATION:

PATENT NO.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005084670 | A1 | 20050915 | WO 2005-EP2108 | 20050228 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1729766 | A1 | 20061213 | EP 2005-707662 | 20050228 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 2007298108 | A1 | 20071227 | US 2007-590889 | 20070604 |
| RITY APPLN. INFO.: | | | SI 2004-67 | A 20040301 |
| | | | WO 2005-EP2108 | W 20050228 |

AB Compns. were developed which stabilize an active pharmaceutical ingredient in polymorph form susceptible to degradation or interconversion into other polymorph forms, where stabilizing substance is conveniently among silicon dioxide, silicified microcryst. cellulose, magnesium oxide and polyethylene glycol. The polymorphic form of losartan potassium was stable when formulated with Syloid and PEG 6000.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

L2 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:740317 CAPLUS

ACCESSION NUMBER: 2004.71051
DOCUMENT NUMBER: 141:265973

DOCUMENT NUMBER: 111-20597-3
TITLE: Preparation of polymorphic crystal forms of the
antihypertensive agent losartan potassium

INVENTOR(S): Kumar, Pananchukunnath Manoj; Manikandan, Ramalingam;
 Singh, Romi Barat; Nagaprasad, Vishnubhotla; Malik,
 Rajiv
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 22 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004076442 | A1 | 20040910 | WO 2004-IB516 | 20040227 |
| W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: IN 2003-DE202 A 20030228

AB Processes for producing polymorphic crystal forms of losartan potassium (I), useful as an antihypertensive, are claimed as are the crystal polymorphs of I, their crystal-characterization data, and their use in pharmaceutical formulations.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:740288 CAPLUS
 DOCUMENT NUMBER: 141:248753
 TITLE: Preparation of losartan potassium polymorphs
 INVENTOR(S): Boccignone, Andrea; Malpezzi, Luciana; Castaldi,
 Graziano; Allegrini, Pietro; Beltrame, Andrea
 PATENT ASSIGNEE(S): Dinamite Dipharma S.P.A. In Abbreviate Form Dipharma
 S.P.A., Italy; Dipharma S.P.A.
 SOURCE: PCT Int. Appl., 25 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004076406 | A2 | 20040910 | WO 2004-EP1717 | 20040220 |
| WO 2004076406 | A3 | 20050113 | | |
| W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI | | | | |

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2003-MI328 A 20030225
AB Losartan potassium polymorphs, identified as losartan potassium crystalline hydrate, losartan potassium amorphous and losartan potassium modification crystalline III, a process for their preparation, pharmaceutical compns. containing them and their use in therapy. Thus, losartan was dissolved in MeOH and treated with KHCO₃ to give a losartan potassium polymorph III.

L2 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:733484 CAPLUS

DOCUMENT NUMBER: 142:127050

TITLE: Effect of enalapril and losartan on cytokines in patients with stable angina pectoris awaiting coronary artery bypass grafting and their interaction with polymorphisms in the interleukin-6 gene

AUTHOR(S): Trevelyan, Jasper; Brull, David J.; Needham, Edward W. A.; Montgomery, Hugh E.; Morris, Alan; Mattu, Raj K.

CORPORATE SOURCE: Department of Cardiology, University Hospitals of Coventry and Warwickshire, Coventry, UK

SOURCE: American Journal of Cardiology (2004), 94(5), 564-569
CODEN: AJCDAG; ISSN: 0002-9149

PUBLISHER: Excerpta Medica, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Angiotensin-converting enzyme inhibitors and angiotensin receptor blockers may have anti-inflammatory actions, an effect that could explain some of their beneficial effects on cardiovascular events in clin. trials. Coronary artery bypass grafting (CABG) is associated with a systemic inflammatory response and provides a convenient model to examine the effects of such agents. Genetic polymorphisms may be important in influencing the expression of cytokines, such as interleukin-6 (IL-6). We randomized men awaiting CABG to treatment with enalapril, losartan, or control for 2 mo before surgery. Systemic IL-6, IL-8, IL-10, and IL-1 receptor agonists were measured before and after surgery, and genotypes for the -174 G/C and -572 G/C IL-6 gene polymorphisms were determined. Total release of the IL-1 receptor agonist was decreased 29% by enalapril and 31% by losartan (adjusted p = 0.041). IL-6 was decreased 17% by enalapril and 20% by losartan. Subjects possessing the -174 GG genotype produced 20% more IL-6 (adjusted p = 0.029). In these high producers of IL-6, release of IL-6 was decreased 51% by enalapril (adjusted p = 0.001) and 32% by losartan (adjusted p = 0.068). Release of IL-10 was nonsignificantly decreased 26% by enalapril and 21% by losartan, whereas IL-8 was not detected. In conclusion, enalapril and losartan significantly decreased release of the IL-1 receptor agonist after CABG. Enalapril produced a highly significant decrease of 51% in the release of IL-6 in patients identified as high producers of IL-6 by the -174 G/C polymorphism, whereas losartan has a similar but less marked effect. The production of IL-6 in this setting is influenced by the -174 G/C polymorphism.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:610104 CAPLUS

DOCUMENT NUMBER: 141:134092
 TITLE: Telmisartan-simvastatin combination for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases
 INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M. E.; Kauschke, Stefan; Mark, Michael
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|------------------|----------|
| WO 2004062729 | A1 | 20040729 | WO 2004-EP175 | 20040114 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA | | | | |
| DE 10301372 | A1 | 20040729 | DE 2003-10301372 | 20030116 |
| DE 10335027 | A1 | 20050217 | DE 2003-10335027 | 20030731 |
| AU 2004204353 | A1 | 20040729 | AU 2004-204353 | 20040114 |
| CA 2513281 | A1 | 20040729 | CA 2004-2513281 | 20040114 |
| US 2004259925 | A1 | 20041223 | US 2004-757295 | 20040114 |
| EP 1587584 | A1 | 20051026 | EP 2004-701918 | 20040114 |
| EP 1587584 | B1 | 20070523 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2004006812 | A | 20051227 | BR 2004-6812 | 20040114 |
| JP 2006515877 | T | 20060608 | JP 2006-500558 | 20040114 |
| AU 2004260606 | A1 | 20050210 | AU 2004-260606 | 20040724 |
| CA 2534006 | A1 | 20050210 | CA 2004-2534006 | 20040724 |
| EP 1651213 | A1 | 20060503 | EP 2004-763484 | 20040724 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1829511 | A | 20060906 | CN 2004-80022096 | 20040724 |
| BR 2004013165 | A | 20061003 | BR 2004-13165 | 20040724 |
| JP 2007500677 | T | 20070118 | JP 2006-521497 | 20040724 |
| MX 2005PA07559 | A | 20050921 | MX 2005-PA7559 | 20050714 |
| NO 2005003793 | A | 20050810 | NO 2005-3793 | 20050810 |
| MX 2006PA01322 | A | 20060504 | MX 2006-PA1322 | 20060131 |
| NO 2006000938 | A | 20060227 | NO 2006-938 | 20060227 |
| PRIORITY APPLN. INFO.: | | | | |
| | | DE 2003-10301372 | A | 20030116 |
| | | DE 2003-10335027 | A | 20030731 |
| | | DE 2003-10301371 | A | 20030116 |
| | | US 2003-446695P | P | 20030211 |
| | | US 2003-503317P | P | 20030916 |
| | | DE 2003-10346260 | A | 20031006 |
| | | DE 2003-10356815 | A | 20031205 |
| | | WO 2004-EP175 | W | 20040114 |
| | | WO 2004-EP8326 | W | 20040724 |

AB The invention discloses a method for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary or renal diseases, achieved by the improvement of endothelial function and the protection of organs, tissues and vessels when indications require a blood pressure check and a lipid level check, especially in patients that have been diagnosed with type 2 diabetes mellitus or if prediabetes is suspected. The method is also used for preventing diabetes and prediabetes and for the treatment of metabolic

syndrome and insulin resistance in patients with normal blood pressure. The method involves the combined administration of effective quantities of telmisartan, or a polymorph or salt thereof, and simvastatin. The invention also discloses suitable pharmaceutical compns. containing telmisartan, or a polymorph or salt thereof, and simvastatin, as a combined preparation for simultaneous, sep., or sequential use in the prophylaxis or treatment of the above diseases. Preparation of the sodium salt of telmisartan is described.

L2 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:606351 CAPLUS
 DOCUMENT NUMBER: 141:134089
 TITLE: Telmisartan-atorvastatin combination for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases
 INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M. E.; Kauschke, Stefan; Mark, Michael
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004062557 | A2 | 20040729 | WO 2004-EP174 | 20040114 |
| WO 2004062557 | A3 | 20040916 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA | | | | |
| DE 10301371 | A1 | 20040805 | DE 2003-10301371 | 20030116 |
| DE 10335027 | A1 | 20050217 | DE 2003-10335027 | 20030731 |
| AU 2004204352 | A1 | 20040729 | AU 2004-204352 | 20040114 |
| CA 2513277 | A1 | 20040729 | CA 2004-2513277 | 20040114 |
| US 2004259925 | A1 | 20041223 | US 2004-757295 | 20040114 |
| EP 1587479 | A2 | 20051026 | EP 2004-701904 | 20040114 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2004006455 | A | 20051206 | BR 2004-6455 | 20040114 |
| CN 1738617 | A | 20060222 | CN 2004-80002407 | 20040114 |
| JP 2006515614 | T | 20060601 | JP 2006-500557 | 20040114 |
| AU 2004260606 | A1 | 20050210 | AU 2004-260606 | 20040724 |
| CA 2534006 | A1 | 20050210 | CA 2004-2534006 | 20040724 |
| EP 1651213 | A1 | 20060503 | EP 2004-763484 | 20040724 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1829511 | A | 20060906 | CN 2004-80022096 | 20040724 |
| BR 2004013165 | A | 20061003 | BR 2004-13165 | 20040724 |
| JP 2007500677 | T | 20070118 | JP 2006-521497 | 20040724 |
| ZA 2005003542 | A | 20060726 | ZA 2005-3542 | 20050504 |
| MX 2005PA07103 | A | 20050826 | MX 2005-PA7103 | 20050629 |
| IN 2005DN03073 | A | 20070112 | IN 2005-DN3073 | 20050711 |
| NO 2005003837 | A | 20050815 | NO 2005-3837 | 20050815 |
| MX 2006PA01322 | A | 20060504 | MX 2006-PA1322 | 20060131 |
| NO 2006000938 | A | 20060227 | NO 2006-938 | 20060227 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10301371 | A 20030116 |
| | | | DE 2003-10335027 | A 20030731 |

| | | | |
|----|---------------|---|----------|
| US | 2003-446695P | P | 20030211 |
| US | 2003-503317P | P | 20030916 |
| DE | 2003-10346260 | A | 20031006 |
| DE | 2003-10356815 | A | 20031205 |
| WO | 2004-EP174 | W | 20040114 |
| WO | 2004-EP8326 | W | 20040724 |

AB The invention discloses a method for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases, achieved by the improvement of endothelial function and the protection of organs, tissues and vessels when indications require a blood pressure check and a lipid level check, especially in patients that have been diagnosed with type 2 diabetes mellitus or if prediabetes is suspected. The method is also used for preventing diabetes and prediabetes and for the treatment of metabolic syndrome and insulin resistance in patients with normal blood pressure. The method involves the combined administration of effective amt. of telmisartan, or a polymorph or salt thereof, and atorvastatin. The invention also discloses suitable pharmaceutical compns. containing telmisartan, or a polymorph or salt thereof, and atorvastatin, as a combined preparation for simultaneous, sep. or sequential use in the prophylaxis or treatment of the above diseases. Preparation of the sodium salt of telmisartan is described.

=> FIL STNGUIDE

COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 29.92 | 30.13 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| -6.40 | -6.40 |

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Feb 8, 2008 (20080208/UP).

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MISSING OPERATOR L2 9-14

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d 12 9-14 ibib ab

YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L2 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:414643 CAPLUS
 DOCUMENT NUMBER: 140:412339
 TITLE: Crystalline form of losartan potassium
 INVENTOR(S): Reddy, Manne Satyanarayana; Eswaraiah, Sajja; Koppera, Ravinder Reddy; Reddy, Vajrala Venkata
 PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|----------|
| US 2004097568 | A1 | 20040520 | US 2003-629316 | 20030729 |
| IN 2002MA00568 | A | 20070727 | IN 2002-MA568 | 20020729 |

PRIORITY APPLN. INFO.:

AB A compound that is a crystalline Form III of losartan potassium is provided. Also provided are compns. containing the compound and methods for its preparation. For example, 125 g of trityl losartan (preparation given) was mixed with an aqueous solution containing 11 g of KOH, 125 mL water, and 1250 mL methanol until the reaction was complete. The solvent was distilled off the reaction solution under vacuum, and water (325 mL) added to the residual mass, stirred for 30 min, the pH adjusted to 8.2 to 8.8, and the mass filtered. The filtrate was washed with water, the water was distilled off, and the resulting residue was dissolved in methanol, the solvent distilled off, and the residual mass cooled to a temperature of 5 to 10°, filtered, and dried to yield crystalline polymorph Form III of losartan potassium (weight 43.0 g). The crystalline polymorph Form III of losartan potassium was also obtained from crystalline polymorph Form I of losartan potassium.

L2 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:287433 CAPLUS

DOCUMENT NUMBER: 136:58666

TITLE: Polymorphic transformation of losartan

AUTHOR(S): Elbary, A. Abd; Nafadi, M. M.; El-Khateeb, Mona A.

CORPORATE SOURCE: Department of pharmaceutics, Faculty of Pharmacy, Cairo University, Cairo, Egypt

SOURCE: Egyptian Journal of Pharmaceutical Sciences (2000), Volume Date 1999, 40(1), 49-59

CODEN: EJPSBZ; ISSN: 0301-5068

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Losartan was recrystd. from alc. solns. containing each of PEG 4000, PEG 6000, Tween 40, Tween 80, Myrj 59 and PVPK90 sep. Samples of the drug, with or without polymers or surfactants were investigated using DSC, XRD, IR and microphotographs to reveal the presence or absence of polymorphism. There were polymorphic changes of the drug with all the tested additives and all polymorphic forms are crystalline

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:90112 CAPLUS

DOCUMENT NUMBER: 126:297598

TITLE: Solubilities of losartan polymorphs

AUTHOR(S): Crocker, L. S.; McCauley, J. A.

CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Pharmazie (1997), 52(1), 72

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The solubilities of the enantiotropic polymorphs I and II of losartan, an orally administered angiotensin II receptor

antagonist used in the treatment of hypertension, was determined to evaluate the free energy differences between the two forms. The solubilities of form I and II at 25-65° were 0.59-1.25 and 1.95-2.63 resp. Thus, form I has lower solubility and is more thermodynamically stable.

L2 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:890142 CAPLUS
DOCUMENT NUMBER: 123:313978
TITLE: Polymorphs of losartan potassium
and a process for the preparation of polymorph
forms I and II of losartan potassium
INVENTOR(S): Campbell, Gordon Creston, Jr.; Dwivedi, Anil M.;
Levorse, Dorothy A.; McCauley, James A.; Raghavan,
Krishnaswamy S.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; du Pont de Nemours, E. I.,
and Co.; Dupont Merck Pharmaceutical Co.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9517396 | A1 | 19950629 | WO 1994-US14768 | 19941221 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ | | | | |
| RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2179067 | A1 | 19950629 | CA 1994-2179067 | 19941221 |
| AU 9514058 | A | 19950710 | AU 1995-14058 | 19941221 |
| AU 685898 | B2 | 19980129 | | |
| EP 736021 | A1 | 19961009 | EP 1995-905449 | 19941221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 09507075 | T | 19970715 | JP 1994-517594 | 19941221 |
| US 5608075 | A | 19970304 | US 1995-371937 | 19950112 |
| PRIORITY APPLN. INFO.: | | | US 1993-173440 | A 19931223 |
| | | | WO 1994-US14768 | W 19941221 |

AB Polymorphic forms of losartan potassium, I, a known angiotensin II-inhibiting antihypertensive, are prepared. Numerous spectral, thermal, and X-ray data of I form I and II are reported, and I-containing formulations are presented along with angiotensin II receptor inhibition data.

L2 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:116612 CAPLUS
DOCUMENT NUMBER: 120:116612
TITLE: Thermal analysis and solution calorimetry studies on losartan polymorphs
AUTHOR(S): Wu, Lei Shu; Gerard, Christine; Hussain, Munir A.
CORPORATE SOURCE: Du Pont Merck Pharm. Co., Wilmington, DE, 19880-0336,
USA
SOURCE: Pharmaceutical Research (1993), 10(12), 1793-5
CODEN: PHREEB; ISSN: 0724-8741
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The existence of losartan (I) polymorphs was confirmed by solution calorimetry. The heat of transition was determined to be 1.74 kcal/mol from Form I to Form II. Form I is thermodynamically more stable

than Form II at ambient temperature. Form II could convert to Form I during storage at ambient temperature since the conversion is exothermic.

L2 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:525045 CAPLUS
DOCUMENT NUMBER: 119:125045
TITLE: A spectroscopic investigation of Losartan polymorphs
AUTHOR(S): Raghavan, Krishnaswamy; Dwivedi, Anil; Campbell, G.
Creston, Jr.; Johnston, Eric; Levorse, Dorothy;
McCauley, James; Hussain, Munir
CORPORATE SOURCE: Exp. Stn., Du Pont Merck Pharm. Co., Wilmington, DE,
19880-0400, USA
SOURCE: Pharmaceutical Research (1993), 10(6), 900-4
CODEN: PHREEB; ISSN: 0724-8741

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Losartan (I), an antihypertensive agent in clin. development, existed in 2 enantiotropic polymorphic forms, a low-temperature stable form (Form I) and a high-temperature stable form (Form II), the temps. at which they are stable being related to the transition temperature X-ray powder diffraction

patterns indicated differences in the crystal packing of the 2 forms. The vibrational data from IR and Raman spectroscopy suggested a subtle change in mol. conformation and crystal packing in the 2 forms. Solid-state ¹³C NMR data of the polymorphs concurred with the vibrational data and indicated that, while the observed line widths reflect no major changes in crystallinity, signal multiplicities and chemical shifts do reflect differences in mol. packing in the resp. unit cells. Thus, in the absence of crystallog. data, useful structural information could be derived from spectroscopic results to identify each of the crystalline forms.